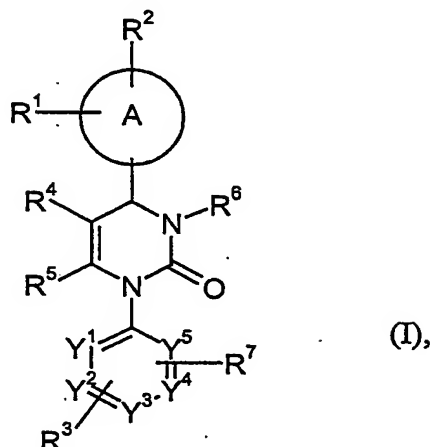


We claim

1. Compounds of the general formula (I)



wherein

A represents an aryl or heteroaryl ring,

R^1 , R^2 and R^3 independently from each other represent hydrogen, halogen, nitro, cyano, C_1 - C_6 -alkyl, hydroxy or C_1 - C_6 -alkoxy, wherein C_1 - C_6 -alkyl and C_1 - C_6 -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C_1 - C_4 -alkoxy,

R^4 represents trifluoromethylcarbonyl, C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, C_1 - C_6 -alkenoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di- C_1 - C_4 -alkylaminocarbonyl, C_6 - C_{10} -arylaminocarbonyl, arylcarbonyl, heteroarylcarbonyl, heterocyclylcarbonyl, heteroaryl, heterocyclyl or cyano, wherein C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, mono- and di- C_1 - C_4 -alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of C_3 - C_8 -cycloalkyl, hydroxy,

C₁-C₄-alkoxy, C₁-C₄-alkoxycarbonyl, hydroxycarbonyl, amino-carbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkyl-carbonylamino, (C₁-C₄-alkylcarbonyl)-C₁-C₄-alkylamino, cyano, amino, mono- and di-C₁-C₄-alkylamino, heteroaryl, heterocyclyl and tri-(C₁-C₆-alkyl)-silyl, and wherein heteroarylcarbonyl, heterocyclyl-carbonyl, heteroaryl and heterocyclyl can be further substituted with C₁-C₄-alkyl,

R⁵ represents C₁-C₄-alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C₁-C₆-alkoxy, C₁-C₆-alkenoxy, C₁-C₆-alkylthio, amino, mono- and di-C₁-C₆-alkylamino, arylamino, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl and the radical -O-C₁-C₄-alkyl-O-C₁-C₄-alkyl,

or

R⁵ represents amino,

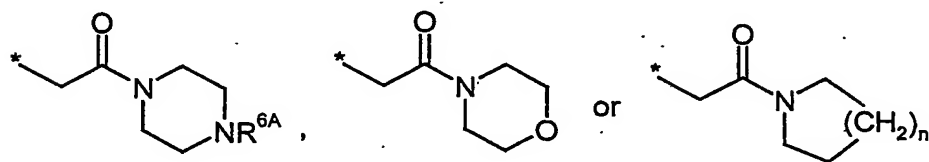
R⁶ represents hydrogen, C₁-C₆-alkyl, formyl, aminocarbonyl, mono- or di-C₁-C₄-alkylaminocarbonyl, C₃-C₈-cycloalkylcarbonyl, C₁-C₆-alkyl-carbonyl, C₁-C₆-alkoxycarbonyl, N-(C₁-C₄-alkylsulfonyl)-amino-carbonyl, N-(C₁-C₄-alkylsulfonyl)-N-(C₁-C₄-alkyl)-aminocarbonyl, heteroaryl, heterocyclyl, heteroarylcarbonyl or heterocyclylcarbonyl, wherein C₁-C₆-alkyl, mono- and di-C₁-C₄-alkylaminocarbonyl, C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, heteroaryl and heterocyclyl can be substituted with one to three identical or different radicals selected from the group consisting of aryl, heteroaryl, hydroxy, C₁-C₄-alkoxy, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl, aminocarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, amino, mono- and di-C₁-C₄-alkyl-amino, C₁-C₄-alkylcarbonylamino, tri-(C₁-C₆-alkyl)-silyl, cyano,

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mono- and di-C₁-C₄-alkylamino-C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkoxy-C₁-C₄-alkylaminocarbonyl and halogen,

or

R⁶ represents a moiety of the formula



wherein

R^{6A} is selected from the group consisting of hydrogen and C₁-C₆-alkyl, and

n represents an integer of 1 or 2,

R⁷ represents halogen, nitro, cyano, C₁-C₆-alkyl, hydroxy or C₁-C₆-alkoxy, wherein C₁-C₆-alkyl and C₁-C₆-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C₁-C₄-alkoxy,

and

Y¹, Y², Y³, Y⁴ and Y⁵ independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms,

and their salts, hydrates and/or solvates and their tautomeric forms.

2. Compounds of general formula (I) according to Claim 1, wherein

A represents an aryl or heteroaryl ring,

5 R^1 , R^2 and R^3 independently from each other represent hydrogen, halogen, nitro, cyano, C_1 - C_6 -alkyl, hydroxy or C_1 - C_6 -alkoxy, wherein C_1 - C_6 -alkyl and C_1 - C_6 -alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C_1 - C_4 -alkoxy,

10 R^4 represents C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, C_1 - C_6 -alkenoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- or di- C_1 - C_4 -alkylaminocarbonyl, C_6 - C_{10} -arylaminocarbonyl, heteroarylcarbonyl, heterocyclylcarbonyl, heteroaryl, heterocyclyl or cyano, wherein C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, mono- and di-
15 C_1 - C_4 -alkylaminocarbonyl can be further substituted with one to three identical or different radicals selected from the group consisting of C_3 - C_8 -cycloalkyl, hydroxy, C_1 - C_4 -alkoxy, C_1 - C_4 -alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono- and di- C_1 - C_4 -alkylaminocarbonyl, C_1 - C_4 -alkylcarbonylamino, amino, mono- and di- C_1 - C_4 -alkylamino, heteroaryl, heterocyclyl and tri- $(C_1$ - C_6 -alkyl)-silyl,
20

R^5 represents C_1 - C_4 -alkyl, which can be substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy, C_1 - C_6 -alkoxy, C_1 - C_6 -alkenoxy, C_1 - C_6 -alkylthio,
25 amino, mono- and di- C_1 - C_6 -alkylamino, arylamino, hydroxycarbonyl, C_1 - C_6 -alkoxycarbonyl and the radical $-O$ - C_1 - C_4 -alkyl- O - C_1 - C_4 -alkyl,

or

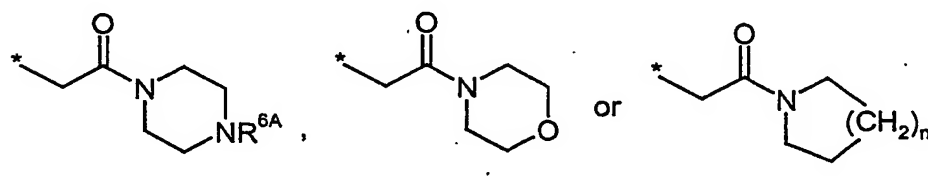
30 R^5 represents amino,

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R^6 represents hydrogen, C_1 - C_6 -alkyl, formyl, aminocarbonyl, mono- or di- C_1 - C_4 -alkylaminocarbonyl, C_3 - C_8 -cycloalkylcarbonyl, C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, N-(C_1 - C_4 -alkylsulfonyl)-aminocarbonyl, N-(C_1 - C_4 -alkylsulfonyl)-N-(C_1 - C_4 -alkyl)-aminocarbonyl, heteroaryl, heterocyclyl, heteroarylcarbonyl or heterocyclcarbonyl, wherein C_1 - C_6 -alkyl, mono- and di- C_1 - C_4 -alkylaminocarbonyl, C_1 - C_6 -alkylcarbonyl, C_1 - C_6 -alkoxycarbonyl, heteroaryl and heterocyclyl can be substituted with one to three identical or different radicals selected from the group consisting of aryl, heteroaryl, hydroxy, C_1 - C_4 -alkoxy, hydroxycarbonyl, C_1 - C_6 -alkoxycarbonyl, aminocarbonyl, mono- and di- C_1 - C_4 -alkylaminocarbonyl, amino, mono- and di- C_1 - C_4 -alkylamino, C_1 - C_4 -alkylcarbonylamino, tri-(C_1 - C_6 -alkyl)-silyl, cyano, mono- and di- C_1 - C_4 -alkylamino- C_1 - C_4 -alkylaminocarbonyl, C_1 - C_4 -alkoxy- C_1 - C_4 -alkylaminocarbonyl and halogen,

or

R^6 represents a moiety of the formula



wherein

R^{6A} is selected from the group consisting of hydrogen and C_1 - C_6 -alkyl, and

n represents an integer of 1 or 2,

R⁷ represents halogen, nitro, cyano, C₁-C₆-alkyl, hydroxy or C₁-C₆-alkoxy, wherein C₁-C₆-alkyl and C₁-C₆-alkoxy can be further substituted with one to three identical or different radicals selected from the group consisting of halogen, hydroxy and C₁-C₄-alkoxy,

and

Y¹, Y², Y³, Y⁴ and Y⁵ independently from each other represent CH or N, wherein the ring contains either 0, 1 or 2 nitrogen atoms.

3. Compounds of general formula (I) according to Claim 1 or 2, wherein

A represents a phenyl, naphthyl or pyridyl ring,

R¹, R² and R³ independently from each other represent hydrogen, fluoro, chloro, bromo, nitro, cyano, methyl, ethyl, trifluoromethyl or trifluoromethoxy,

R⁴ represents C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl, hydroxycarbonyl, aminocarbonyl, mono-C₁-C₄-alkylaminocarbonyl or cyano, wherein C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl and mono-C₁-C₄-alkylaminocarbonyl can be substituted with one to three identical or different radicals selected from the group consisting of C₃-C₈-cycloalkyl, hydroxy, C₁-C₄-alkoxy, C₁-C₄-alkoxycarbonyl, amino, mono- or di-C₁-C₄-alkylamino, heteroaryl and heterocyclyl,

R⁵ represents methyl or ethyl,

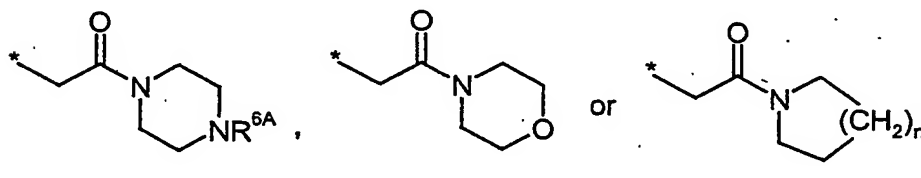
R⁶ represents hydrogen, C₁-C₆-alkyl, mono- or di-C₁-C₄-alkylaminocarbonyl, C₁-C₆-alkylcarbonyl, C₁-C₆-alkoxycarbonyl or heterocyclcarbonyl, wherein C₁-C₆-alkyl and C₁-C₆-alkoxycarbonyl can be

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substituted with one to three identical or different radicals selected from the group consisting of heteroaryl, hydroxy, C₁-C₄-alkoxy, hydroxycarbonyl, C₁-C₆-alkoxycarbonyl, aminocarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, cyano, amino, mono- and di-C₁-C₄-alkylamino,

or

R⁶ represents a moiety of the formula



wherein

R^{6A} is selected from the group consisting of hydrogen and C₁-C₄-alkyl, and

n represents an integer of 1 or 2,

R⁷ represents halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, methyl or ethyl,

and

Y¹, Y², Y³, Y⁴ and Y⁵ each represent CH.

4. Compounds of general formula (I) according to Claim 1, 2 or 3, wherein

A represents a phenyl or a pyridyl ring,

R¹ and R³ each represent hydrogen,

R² represents fluoro, chloro, bromo, nitro or cyano,

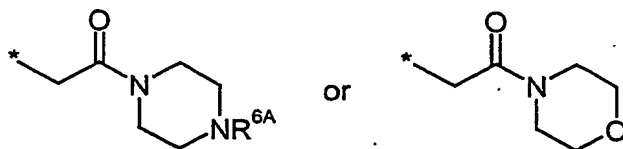
R⁴ represents cyano, C₁-C₄-alkylcarbonyl or C₁-C₄-alkoxycarbonyl, wherein C₁-C₄-alkoxycarbonyl can be substituted with a radical selected from the group consisting of hydroxy, C₁-C₄-alkoxy, C₁-C₄-alkoxycarbonyl, mono- and di-C₁-C₄-alkylamino, heteroaryl and heterocyclyl,

R⁵ represents methyl,

R⁶ represents hydrogen, C₁-C₄-alkyl, mono- or di-C₁-C₄-alkylaminocarbonyl, C₁-C₄-alkylcarbonyl or C₁-C₄-alkoxycarbonyl, wherein C₁-C₄-alkyl and C₁-C₄-alkoxycarbonyl can be substituted with a radical selected from the group consisting of heteroaryl, hydroxy, C₁-C₄-alkoxy, hydroxycarbonyl, aminocarbonyl, mono- and di-C₁-C₄-alkylaminocarbonyl, amino, mono- and di-C₁-C₄-alkylamino,

or

R⁶ represents a moiety of the formula



wherein

R^{6A} is selected from the group consisting of hydrogen and methyl,

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R⁷ represents trifluoromethyl or nitro,

and

5 Y¹, Y², Y³, Y⁴ and Y⁵ each represent CH.

5. Compounds of general formula (I) according to at least one of Claims 1 to 4,
wherein A is phenyl or pyridyl.

10 6. Compounds of general formula (I) according to at least one of Claims 1 to 5,
wherein R¹ is hydrogen.

7. Compounds of general formula (I) according to at least one of Claims 1 to 6,
wherein R² is cyano.

15 8. Compounds of general formula (I) according to at least one of Claims 1 to 7,
wherein R³ is hydrogen.

9. Compounds of general formula (I) according to at least one of Claims 1 to 8,
20 wherein R⁴ is C₁-C₄-alkoxycarbonyl optionally substituted by hydroxy or
wherein R⁴ is C₁-C₄-alkylcarbonyl.

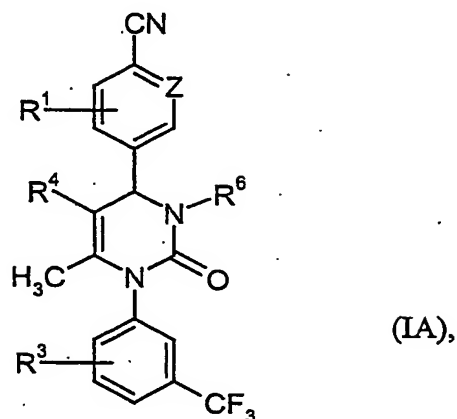
10. Compounds of general formula (I) according to at least one of Claims 1 to 9,
wherein R⁵ is methyl.

25 11. Compounds of general formula (I) according to at least one of Claims 1 to 10,
wherein R⁶ is hydrogen.

30 12. Compounds of general formula (I) according to at least one of Claims 1 to 11,
wherein R⁷ is trifluoromethyl or nitro.

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13. Compounds of general formula (IA)



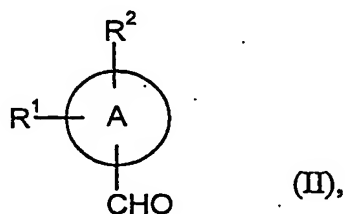
(IA),

wherein

Z represents CH or N, and

R¹, R³, R⁴ and R⁶ have the meaning indicated in Claims 1 to 12.

14. Process for synthesizing the compounds of general formula (I) or (IA), respectively, as defined in Claims 1 to 13 by condensing compounds of general formula (II)



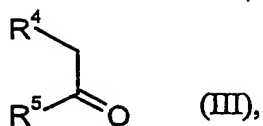
(II),

wherein

A, R¹ and R² have the meaning indicated in Claims 1 to 13,

with compounds of general formula (III)

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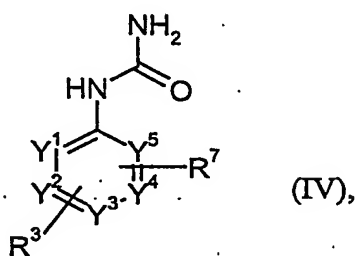


wherein

R⁴ and R⁵ have the meaning indicated in Claims 1 to 13,

5

and compounds of general formula (IV)



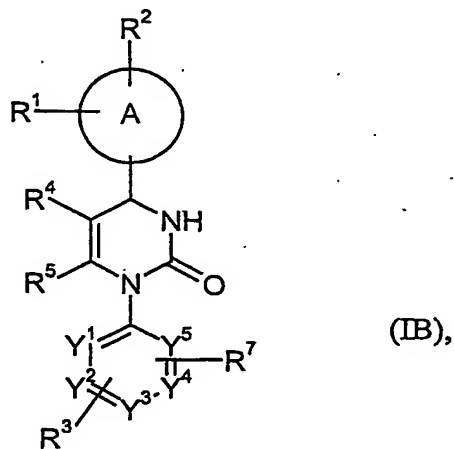
wherein

10

R³, R⁷, and Y¹ to Y⁵ have the meaning indicated in Claims 1 to 13,

in the presence of an acid either in a three-component / one-step reaction or sequentially to give compounds of the general formula (IB)

15



wherein

A, R¹ to R⁵, R⁷, and Y¹ to Y⁵ have the meaning indicated in Claims 1 to 13,

- 5 optionally followed by reaction of the compounds of general formula (IB) with compounds of the general formula (V)



10 wherein

R^{6*} has the meaning of R⁶ as indicated in Claims 1 to 13, but does not represent hydrogen, and

- 15 X represents a leaving group, such as halogen, tosylate, mesylate or sulfate,

in the presence of a base.

- 20 15. The composition containing at least one compound of general formula (I) or (IA) as defined in Claims 1 to 13 and a pharmacologically acceptable diluent.

16. A composition according to Claim 15 for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.

25

17. The process for the preparation of compositions according to Claim 15 and 16 characterized in that the compounds of general formula (I) or (IA) as defined in Claims 1 to 13 together with customary auxiliaries are brought into a suitable application form.

30

18. Use of the compounds of general formula (I) or (IA) as defined in Claims 1 to 13 for the preparation of medicaments.
- 5 19. Use according to Claim 18 for the preparation of medicaments for the treatment of acute and chronic inflammatory, ischaemic and/or remodelling processes.
- 10 20. Use according to Claim 19, wherein the process is chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure.
- 15 21. Process for controlling chronic obstructive pulmonary disease, acute coronary syndrome, acute myocardial infarction or development of heart failure in humans and animals by administration of a neutrophil elastase inhibitory amount of at least one compound according to any of Claims 1 to 13.

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